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=> d his

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L4              15 S L3 AND CAPLUS/LC

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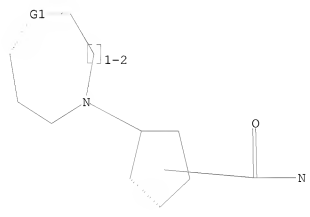

G1 C,O,S,N

Structure attributes must be viewed using STN Express query preparation.

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L5 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:141023 CAPLUS
DOCUMENT NUMBER: 142:240424
TITLE: Preparation of (thiazolyl)cyclopentane amide modulators of chemokine receptor activity
INVENTOR(S): Butora, Gabor; Yang, Lihu; Goble, Stephen D.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 82 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005014537	A2	20050217	WO 2004-US25467	20040806
WO 2005014537	A3	20050512		
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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004263509	A1	20050217	AU 2004-263509	20040806
CA 2534294	A1	20050217	CA 2004-2534294	20040806
EP 1654256	A2	20060510	EP 2004-780322	20040806
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
CN 1832943	A	20060913	CN 2004-80022756	20040806
JP 2007501795	T	20070201	JP 2006-522756	20040806
IN 2006DN00519	A	20070810	IN 2006-DN519	20060131
US 20060205783	A1	20060914	US 2006-567516	20060207
PRIORITY APPLN. INFO.:			US 2003-493902P P	20030808
			WO 2004-US25467 W	20040806
OTHER SOURCE(S):	CASREACT 142:240424; MARPAT 142:240424			

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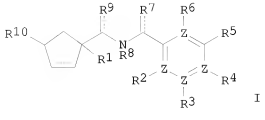

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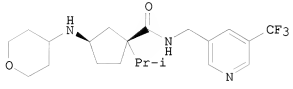


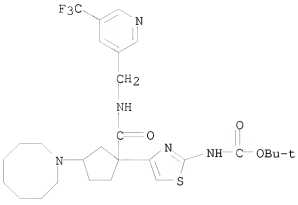
II

AB Title compds. I [wherein Z = independently C or N; R1 = (alkoxy)alkyl, alkylthioalkyl, hydroxy, etc.; R2-R4, R6 = independently H, OH, alkyl, halo, etc.; R5 = (carbonyl)alkyl, CF3, halo, etc.; R7, R9 = independently H, Ph, alkyl, etc.; R8 = H, Ph, alkyl, etc.; R10 = (un)substituted tetrahydropyranyl-4-ylamino, azacyclohept-1-yl, azacyclooct-1-yl; and pharmaceutically acceptable salts or solvates thereof and individual diastereomers thereof] are prepd as chemokine receptor modulators (no data). For example, II was given in a multi-step synthesis starting from 2,6-dichloro-4-trifluoromethylpyridine. The invention is directed to pharmaceutical compns. comprising these compds. and the use of these compds. and compns. as chemokine receptor modulators in the prevention or treatment of the diseases in which chemokine receptors are involved, such as inflammatory and immunoregulatory disorders, and rheumatoid arthritis (no data).

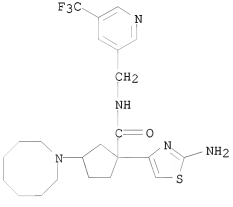
IT 844639-98-1P 844640-00-2P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of N-pyridinylmethyl (thiazolyl)cyclopentane amide modulators of chemokine receptor activity)

RN 844639-98-1 CAPLUS

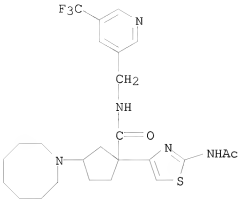
CN Carbamic acid, [4-[3-(hexahydro-1(2H)-azocinyl)-1-[[[[5-(trifluoromethyl)-3-pyridinyl]methyl]amino]carbonyl]cyclopentyl]-2-thiazolyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



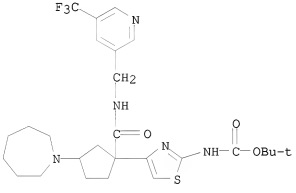
RN 844640-00-2 CAPLUS
CN Cyclopentanecarboxamide, 1-(2-amino-4-thiazolyl)-3-(hexahydro-1(2H)-azocinyl)-N-[[5-(trifluoromethyl)-3-pyridinyl]methyl]- (CA INDEX NAME)



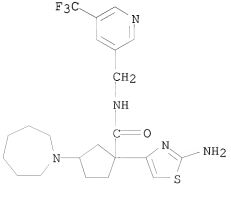
IT 844639-96-9P 844639-97-0P 844639-99-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-pyridinylmethyl (thiazolyl)cyclopentane amide modulators of chemokine receptor activity)
RN 844639-96-9 CAPLUS
CN Cyclopentanecarboxamide, 1-[2-(acetylamino)-4-thiazolyl]-3-(hexahydro-1(2H)-azocinyl)-N-[[5-(trifluoromethyl)-3-pyridinyl]methyl]- (CA INDEX NAME)



RN 844639-97-0 CAPLUS
CN Carbamic acid, [4-[3-(hexahydro-1H-azepin-1-yl)-1-[[[[5-(trifluoromethyl)-3-pyridinyl]methyl]amino]carbonyl]cyclopentyl]-2-thiazolyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 844639-99-2 CAPLUS
CN Cyclopentanecarboxamide, 1-(2-amino-4-thiazolyl)-3-(hexahydro-1H-azepin-1-yl)-N-[[5-(trifluoromethyl)-3-pyridinyl]methyl]- (CA INDEX NAME)



L5 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:99600 CAPLUS
DOCUMENT NUMBER: 142:198060
TITLE: Preparation of 7 and 8 membered heterocyclic cyclopentyl benzylamide derivatives as modulators of chemokine receptor activity
INVENTOR(S): Ge, Min; Goble, Stephen D.; Pasternak, Alexander; Yang, Lihu
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 58 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005010154	A2	20050203	WO 2004-US21996	20040709
WO 2005010154	A3	20050825		
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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004259416	A1	20050203	AU 2004-259416	20040709
CA 2532102	A1	20050203	CA 2004-2532102	20040709
EP 1646392	A2	20060419	EP 2004-777832	20040709
CN 1871012	A	20061129	CN 2004-80020467	20040709
JP 2007523871	T	20070823	JP 2006-520232	20040709
IN 2005DN06171	A	20080509	IN 2005-DN6171	20051230
US 20060183731	A1	20060817	US 2006-564702	20060113
PRIORITY APPLN. INFO.:			US 2003-487317P P	20030715
			WO 2004-US21996 W	20040709

OTHER SOURCE(S): CASREACT 142:198060; MARPAT 142:198060
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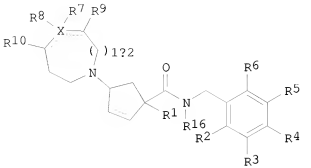

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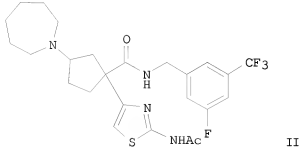


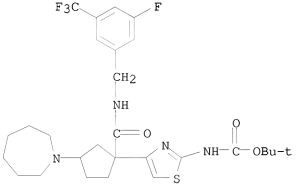
II

AB N-benzylheterocyclylcyclopentanecarboxamide derivs. of the formula (I) and pharmaceutically acceptable salts thereof and individual diastereomers thereof [X = O, N, S, SO2, C; R1 = H, C1-6 alkyl, -C0-6alkyl-O-C1-6alkyl, -C0-6 alkyl-S-C1-6-alkyl, - (C0-6-alkyl)(C3-7cycloalkyl)(C0-6alkyl), HO, heterocyclyl, cyano, etc.; R2, R4, R6 = H, each (un)substituted C1-3 alkyl or -O-C1-3alkyl, HO, Cl, F, Br, Ph; R3 = H, HO, halo, each (un)substituted C1-3 alkyl or NH2, etc.; R5 = each (un)substituted C1-6 alkyl, -O-C1-6alkyl, -CO-C1-6alkyl, -S-C1-6alkyl, or 1-pyridyl, F, Cl, Br, (un)substituted -C4-6 cycloalkyl, etc.; R7 = H, (C0-6-alkyl)phenyl, (C0-6alkyl)heterocycle, (C0-6-alkyl)-C3-7cycloalkyl, etc.; R8 = H, nothing (when X is either O, S, SO2, or N or when a double bond joins the carbons to which R7 and R10 are attached), HO, C1-6 alkyl, C1-6-alkylhydroxy, -O-C1-3alkyl, (un)substituted CONH2, cyano; or where R7 and R8 may be joined together to form a ring such as 1H-indene, 2,3-dihydro-1H-indene, etc.; or R7 and R9 or R8 and R10 may be joined together to form an (un)substituted Ph or heterocycle ring; R9, R10 = H, HO, hydroxy, C1-6 alkyl, C1-6 alkylhydroxy, -O-C1-3alkyl, oxo (when R9 or R10 is connected to the ring via a double bond), halo, etc.; R16 = H, Ph, (un)substituted C1-6alkyl; the dashed line represents a single or a double bond] are prepared These compds. are useful as modulators of chemokine receptor, in particular chemokine receptor CCR-2, for treating, ameliorating, controlling or reducing the risk of an inflammatory and immunoregulatory disorder or disease, in particular rheumatoid arthritis. Thus, reductive amination of 1-[2-[N-(tert-butoxycarbonyl)amino]thiazol-4-yl]-3-oxocyclopentane-1-carboxylic acid Et ester by hexamethyleneimine and NaBH(OAc)2 in THF followed by alkali hydrolysis and acidification with AcOH gave 3-(Azepan-1-yl)-1-[2-[N-(tert-butoxycarbonyl)amino]thiazol-4-yl]cyclopentane-1-carboxylic acid which underwent amidation with 3-fluoro-5-(trifluoromethyl)benzylamine using 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride in the presence of 4-Dimethylaminopyridine and diisopropylethylamine in CH2C12,

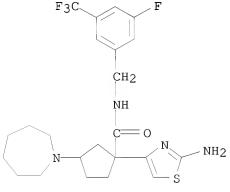
followed by N-deprotection with CF3CO2H and N-acetylation with acetic anhydride to give N-[3-fluoro-5-(trifluoromethyl)benzyl]-3-(azepan-1-yl)-1-[2-(acetylamino)thiazol-4-yl]cyclopentane-1-carboxamide (II).

IT 835916-80-8P 835916-81-9P 835916-82-0P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of N-benzylheterocyclylcyclopentanecarboxamide derivs. as modulators of chemokine receptor for treating, ameliorating, controlling, or reducing risk of inflammatory and immunoregulatory disorder or disease)

RN 835916-80-8 CAPLUS
CN Carbamic acid, [4-[1-[[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]amino]carbonyl]-3-(hexahydro-1H-azepin-1-yl)cyclopentyl]-2-thiazolyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

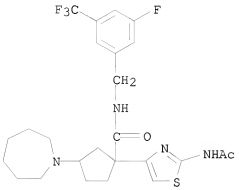


RN 835916-81-9 CAPLUS
CN Cyclopentanecarboxamide, 1-(2-amino-4-thiazolyl)-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3-(hexahydro-1H-azepin-1-yl)- (CA INDEX NAME)



RN 835916-82-0 CAPLUS
CN Cyclopentanecarboxamide, 1-[2-(acetylamino)-4-thiazolyl]-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3-(hexahydro-1H-azepin-1-yl)- (CA INDEX

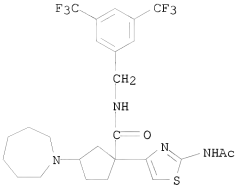
NAME)



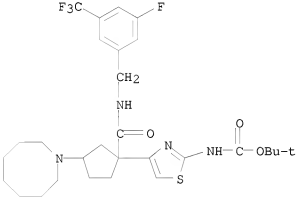
IT 690654-35-4P, N-[3,5-Bis(trifluoromethyl)benzyl]-3-(1-azepan-1-yl)-1-[2-(acetylamino)thiazol-4-yl]cyclopentane-1-carboxamide 835916-83-1P, N-[3-Fluoro-5-(trifluoromethyl)benzyl]-3-(1-azacyclooctan-1-yl)-1-[2-[(tert-butoxycarbonyl)amino]thiazol-4-yl]cyclopentane-1-carboxamide 835916-84-2P, N-[3-Fluoro-5-(trifluoromethyl)benzyl]-3-(1-azacyclooctan-1-yl)-1-(2-aminothiazol-4-yl)cyclopentane-1-carboxamide 835916-85-3P, N-[3,5-Bis(trifluoromethyl)benzyl]-3-(1-azacyclooctan-1-yl)-1-(2-aminothiazol-4-yl)cyclopentane-1-carboxamide 835916-86-4P, N-[3-Fluoro-5-(trifluoromethyl)benzyl]-3-(1-azacyclooctan-1-yl)-1-[2-(acetylamino)thiazol-4-yl]cyclopentane-1-carboxamide 835916-87-5P, N-[3,5-Bis(trifluoromethyl)benzyl]-3-(1-azacyclooctan-1-yl)-1-[2-(acetylamino)thiazol-4-yl]cyclopentane-1-carboxamide 835916-88-6P, N-[3,5-Bis(trifluoromethyl)benzyl]-3-(1-azacyclooctan-1-yl)-1-[2-(pivaloylamino)thiazol-4-yl]cyclopentane-1-carboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-benzylheterocyclylcyclopentanecarboxamide derivs. as modulators of chemokine receptor for treating, ameliorating, controlling, or reducing risk of inflammatory and immunoregulatory disorder or disease)

RN 690654-35-4 CAPLUS

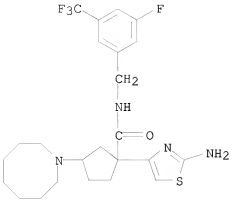
CN Cyclopentanecarboxamide, 1-[2-(acetylamino)-4-thiazolyl]-N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-(hexahydro-1H-azepin-1-yl)- (CA INDEX NAME)



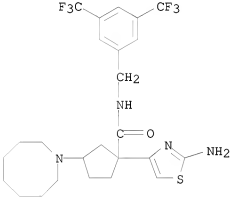
RN 835916-83-1 CAPLUS
CN Carbamic acid, [4-[1-[[[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]amino]carbonyl]-3-(hexahydro-1(2H)-azocinyl)cyclopentyl]-2-thiazolyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



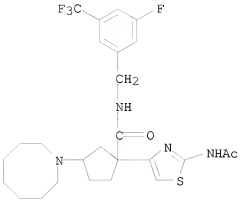
RN 835916-84-2 CAPLUS
CN Cyclopentanecarboxamide, 1-(2-amino-4-thiazolyl)-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3-(hexahydro-1(2H)-azocinyl)- (CA INDEX NAME)



RN 835916-85-3 CAPLUS
CN Cyclopentanecarboxamide, 1-(2-amino-4-thiazolyl)-N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-(hexahydro-1(2H)-azocinyl)- (CA INDEX NAME)



RN 835916-86-4 CAPLUS
CN Cyclopentanecarboxamide, 1-[2-(acetylamino)-4-thiazolyl]-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3-(hexahydro-1(2H)-azocinyl)- (CA INDEX NAME)



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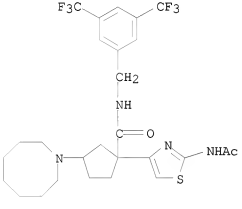
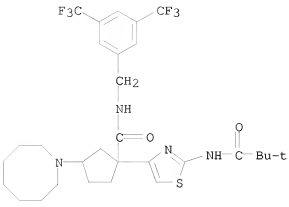


RN 835916-88-6 CAPLUS
CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-[2-[(2,2-dimethyl-1-oxopropyl)amino]-4-thiazolyl]-3-(hexahydro-1(2H)-azocinyl)- (CA INDEX NAME)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C
O
Bu-t
N
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L5 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:412749 CAPLUS
DOCUMENT NUMBER: 140:423705
TITLE: A preparation of piperidinylcyclopentyl amide derivatives, useful as modulators of chemokine receptor activity
INVENTOR(S): Zhou, Changyou; Pasternak, Alexander; Yang, Lihu
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 100 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004041163	A2	20040521	WO 2003-US34099	20031024
WO 2004041163	A3	20040715		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2503713	A1	20040521	CA 2003-2503713	20031024
AU 2003284188	A1	20040607	AU 2003-284188	20031024
EP 1558576	A2	20050803	EP 2003-776578	20031024
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006507301	T	20060302	JP 2004-550142	20031024
US 20060173013	A1	20060803	US 2006-533337	20060330
PRIORITY APPLN. INFO.:			US 2002-422381P	P 20021030
			WO 2003-US34099	W 20031024

OTHER SOURCE(S): MARPAT 140:423705
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to piperidinylcyclopentyl amide derivs. of formula I [wherein: X is -O-, -CH2O-, -CO2-, or -OC(O)-, etc.; W is (un)substituted Ph or heterocycle; Z is C, N, or O, wherein when Z is N, then R4 is absent, and when W is O, then both R3 and R4 are absent; n = 0-4; R1 is H, halo, trifluoromethyl, OH, alkyl, or CN, etc.; R2 is (un)substituted C0-6alkyl-(phenyl/heterocycle); R3 is (un)substituted C0-6alkyl-phenyl; R4 is H, OH, CN, or alkyl, etc.; R5 and R6 are independently selected from H, OH, alkyl, alkoxy, or oxo, etc.; R3 and R5 or R4 and R6 may be joined together to form (un)substituted ring] , useful as modulators of chemokine receptor activity. In particular, these compds. are useful as modulators of the chemokine receptor CCR-2. For instance, piperidinylcyclopentyl

amide derivative II (CCR-2 receptor binding IC50 < 1μM) was prepared via amination of the obtained intermediate cyclopentanone derivative III by 4-(4-fluorophenyl)piperidine with a yield of 66% (example 1).

IT 690654-35-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperidinylcyclopentyl amide derivs., useful as modulators of chemokine receptor activity)

RN 690654-35-4 CAPLUS

CN Cyclopentanecarboxamide, 1-[2-(acetylamino)-4-thiazolyl]-N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-(hexahydro-1H-azepin-1-yl)- (CA INDEX NAME)